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                 Web Page URLs for STN Seminar Schedule - N. America
      1
NEWS
                 "Ask CAS" for self-help around the clock
      2
NEWS
         FEB 27
                 New STN AnaVist pricing effective March 1, 2006
     3
NEWS
     4
         MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records .
NEWS
     5
         MAY 11
                 KOREAPAT updates resume
NEWS 6
         MAY 19
                 Derwent World Patents Index to be reloaded and enhanced
NEWS
         MAY 30
                 IPC 8 Rolled-up Core codes added to CA/CAplus and
                 USPATFULL/USPAT2
NEWS
      8
         MAY 30
                 The F-Term thesaurus is now available in CA/CAplus
NEWS
      9
         JUN 02
                 The first reclassification of IPC codes now complete in
                 INPADOC
NEWS 10
         JUN 26
                 TULSA/TULSA2 reloaded and enhanced with new search and
                 and display fields
NEWS 11
        JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12
        JUl 11 CHEMSAFE reloaded and enhanced
NEWS 13
        JUl 14 FSTA enhanced with Japanese patents
NEWS 14
        JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16
       AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17
        AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18
        SEP 11
                 CA/CAplus enhanced with more pre-1907 records
NEWS 19
        SEP 21
                 CA/CAplus fields enhanced with simultaneous left and right
                 truncation
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NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation

NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 11:40:01 ON 25 SEP 2006

=> file reg
COST IN U.S. DOLLARS

FILE COPY

SINCE FILE

TOTAL

10/5/7,294

ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8 DICTIONARY FILE UPDATES: 24 SEP 2006 HIGHEST RN 908332-13-8

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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

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*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d scan

L1 HAS NO ANSWERS

=> s l1 exact full FULL SEARCH INITIATED 11:41:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA EXA FUL L1

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 57.42 57.63

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006
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FILE COVERS 1907 - 25 Sep 2006 VOL 145 ISS 14 FILE LAST UPDATED: 24 Sep 2006 (20060924/ED)

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=> d his

(FILE !HOME! ENTERED AT 11:40:01 ON 25 SEP 2006)

FILE 'REGISTRY' ENTERED AT 11:40:13 ON 25 SEP 2006

L1 STRUCTURE UPLOADED L2 0 S L1 EXACT FULL

FILE 'CAPLUS' ENTERED AT 11:41:54 ON 25 SEP 2006

=> s 12

L3 0 L2

=> s l1

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:42:47 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -126 TO ITERATE

100.0% PROCESSED 126 ITERATIONS SEARCH TIME: 00.00.01

26 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS:

1847 TO 3193

215 TO 825

PROJECTED ANSWERS:

26 SEA SSS SAM L1

L5 23 L4

=> d ed ibib abs hitstr 1

L5 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STM: 01 Sep 2006

ACCESSION NUMBER:

2006:894501

DOCUMENT NUMBER:

145:272001

ACCESSION NUMBER:

2004:465503 CAPLUS

DOCUMENT NUMBER:

141:157373

TITLE:

Synthesis of new 2'-β-C-methyl related

AUTHOR(S):

triciribine analogues as anti-HCV agents Smith, Kenneth L.; Lai, Vicky C. H.; Prigaro, Brett

J.; Ding, Yili; Gunic, Esmir; Girardet, Jean-Luc; Zhong, Weidong; Hong, Zhi; Lang, Stanley; An, Haoyun

CORPORATE SOURCE:

Valeant Pharmaceuticals International, Costa Mesa, CA,

92626, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2004),

14(13), 3517-3520

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 141:157373

Ten new β -D-ribofuranosyl and 2'- β -C-methyl- β -D-

ribofuranosyl triciribine derivs. with various N4 and 6-N substituents on the tricyclic ring were synthesized from the corresponding toyocamycin and

new 2'- β -C-Me toyocamycin derivs. The inhibitory studies of these compds. in the HCV replicon assay reveal that some of them possess Figure 7. interesting anti-HCV properties with low cytotoxicity.

IT 729595-73-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(synthesis and anti-HCV anal. of β -D-ribofuranosyl and $2'-\beta-C-methyl-\beta-D-ribofuranosyl triciribine derivs.$ with various N4 and 6-N substituents on the tricyclic ring)

RN 729595-73-7 CAPLUS

CN Acetamide, N-[1,5-dihydro-5-(2-hydroxyethyl)-1-(2-C-methyl-β-D-

ribofuranosyl)-1,4,5,6,8-pentaazaacenaphthylen-3-yl]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

REFERENCE COUNT:

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN:

- Dos Naus 08 Apr 2004 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

-2004:290484 140:327061

TITLE:

Nucleoside derivatives for treating hepatitis C virus

infection

INVENTOR (S):

Roberts, Christopher Don; Dyatkina, Natalia B.

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	CENT I	NO.			KIN		DATE								D.	ATE		•		
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	WO	20040						2004									0030				
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	•		TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW						
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(nucleoside derivs. for treating hepatitis C virus infection)

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 5-ethyl-1,7-dihydro-7-(2-C-methyl-β-

D-ribofuranosyl) -, oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN CN

CN

677298-88-3 CAPLUS

RN 677298-93-0 CAPLUS

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-7-(2-C-methyl-β-D-ribofuranosyl)-, O-methyloxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methyl- β -D-ribofuranosyl)- (9CI) (CA INDEX NAME)

March 2018 1 (2000)

CONTRACTOR STANKING TO CAPTER STANKING CO.

Absolute stereochemistry.

IT 677299-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nucleoside derivs. for treating hepatitis C virus infection)

RN 677299-14-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(2-C-methyl- β -D-ribofuranosyl)-5-(5-oxazolyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 18 OF 22 CAPLOS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Dec 2003
ACCESSION NUMBER: 2003:951160 CAPLUS
DOCUMENT NUMBER: 140:13688
TITLE: 0ligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents,

Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving

enzymes

INVENTOR(S):

Eldrup, Anne; Cook, Phillip Dan; Parshall, Lynne B.

PATENT ASSIGNEE(S):

Isis Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT I	NO.	KIN	ID DATE	3	APP	LICAT	ION NO	٥.	D	ATE	
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			2003						2	0030	523
WO :2003:	100017	- A3	2004	0826		Jan. 1	_				
			AT, AU,						CA,	CH,	CN,
			DE, DK,								
	GM, HR,	HU, ID,	IL, IN,	IS,	JP, KE	, KG,	KP, F	KR, KZ,	LC,	LK,	LR,
	LS, LT,	LU, LV,	MA, MD,	MG,	MK, MN	, MW,	MX, N	IZ, NO,	NZ,	OM,	PH,
	PL, PT,	RO, RU,	SC, SD,	ŞΕ,	SG, SK	, SL,	TJ, T	rm, TN,	TR,	TT,	TZ,
	UA, UG,	US, UZ,	VC, VN,	ΥU,	ZA, ZM	, ZW					
RW:	GH, GM,	KE, LS,	MW, MZ,	SD,	SL, SZ	, TZ,	UG, 2	ZM, ZW,	AM,	ΑZ,	BY,
			TJ, TM,								
	FI, FR,	GB, GR,	HU, IE,	IT,	LU, MC	, NL,	PT, F	RO, SE,	SI,	SK,	TR,
	BF, BJ,	CF, CG,	CI, CM,	GA,	GN, GQ	, GW,	ML, N	IR, NE,	SN,	TD,	TG
		A1			AU					0030	523
US 20040	014108	. A1	2004	0122	US	2003-4	144298	•	20	0030	523
PRIORITY APPI	LN. INFO	.:			US	2002-3	383358	3P	P 2	0020	524
					WO	2003-t	JS1652	26	W 20	0030	523

OTHER SOURCE(S): MARPAT 140:13688

Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

IT 443642-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of modified nucleosides and nucleoside amidites for incorporation into oligonucleotides, and uses)

RN 443642-48-6 CAPLUS

ANSWER 19 OF 2/3 CAPLUS COPYRIGHT 2006 ACS on STN _Dec-2003 Entered STN: 2003:951042 ACCESSION NUMBER: DOCUMENT NUMBER: 140:24085 TITLE: Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes Eldrup, Anne; Cook, Phillip Dan; Parshall, B. Lynne INVENTOR(S): PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA SOURCE: PCT Int. Appl., 271 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003099840 20031204 WO 2003-US16502 20030523 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

A1

A1

Dispersion

20030523

20030523

P 20020524

W 20030523

OTHER SOURCE(S): MARPAT 140:24085

Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase. IT

20031212

20040122

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003-237249

US 2003-444628

US 2002-383438P

WO 2003-US16502

443642-48-6P

AU 2003237249

US 2004014957

PRIORITY APPLN. INFO.:

RL: SPN (Synthetic preparation); PREP (Preparation) (oligonucleotides having modified nucleoside units with various linkages)

RN443642-48-6 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 22 Aug 200) 2003:656596 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER:

139:191380

TITLE:

Methods of inhibiting orthopoxvirus replication with

nucleoside compounds

INVENTOR(S):

Olsen, David B.; Lafemina, Robert L.; Eldrup, Anne B.;

337 125 185 1 30 1 3 3

Bera, Sanjib

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE:

PCT Int. Appl., 99 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATENT MO

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										Ţ	WO 2	003 <i>-</i> 1	JS370	3	Ţ	1 2	00302	207

OTHER SOURCE(S): MARPAT 139:191380

The present invention provides methods of inhibiting orthopoxvirus replication and/or treating orthopoxvirus infection with certain nucleoside compds. and derivs. thereof. These compds. are particularly useful as inhibitors of vaccinia virus and variola virus replication and/or for the treatment of vaccinia virus and variola virus infection. The nucleoside compds. may be administered alone or in combination with other agents active against orthopoxvirus infection, in particular against vaccinia virus or variola virus infection. Another aspect of the present invention provides for the use of such nucleoside compds. in the manufacture of a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection. Yet a further aspect of the present invention provides such nucleoside compds. for use as a medicament for the inhibition of orthopoxvirus replication and/or for the treatment of orthopoxvirus infection.

IT 443642-48-6P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(inhibiting orthopoxvirus replication with nucleoside compds.)

443642-48-6 CAPLUS RN

CN 7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN 01 Aug 2003

Entered STN: ACCESSION NUMBER:

2003:590940 CAPLUS

DOCUMENT NUMBER:

139:133787

TITLE:

convenience of Preparation of deazapurine nucleoside analogs as

antiviral agents

INVENTOR(S):

An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong,

Zhi

PATENT ASSIGNEE(S):

SOURCE:

Ribapharm Inc., USA

PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200306157		20020721	WO 0000 WOLEAR	
			WO 2003-US1545	20030117
WO 200306157	A3	20040401		
W: AE, A	G, AL, AM, A	AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
co, c	R, CU, CZ, D	DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,
GM, I	IR, HU, ID, I	L, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, 1	T, LU, LV, M	IA, MD, MG,	MK, MN, MW, MX, MZ,	NO, NZ, OM, PH.
PL, 1	T, RO, RU, S	C, SD, SE,	SG, SK, SL, TJ, TM,	TN, TR, TT, TZ.
UA, U	IG, US, UZ, V	C, VN, YU,	ZA, ZM, ZW	,,,
			SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, I	Z, MD, RU, T	J, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,
FI, I	R, GB, GR, H	W, IE, IT,	LU, MC, NL, PT, SE,	SI, SK, TR, BF,
ВЈ, (F, CG, CI, C	M, GA, GN,	GQ, GW, ML, MR, NE,	SN. TD. TG
AU 2003209285	A1	20030902	AU 2003-209285	20030117
PRIORITY APPLN. IN	FO.:		US 2002-350296P	
			WO 2003-US1545	
OTHER SOURCE(S):	MARPA	T 139:13378	37	20030117

GI



Methods, compns., and uses for various deazapurine nucleoside libraries AB and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents. 4-N, N-dimethylamino-7-(β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-Nhydroxycarbamidine was prepared and tested in vitro as antiviral agent. IT 565455-29-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of deazapurine nucleoside analogs as antiviral agents)

RN565455-29-0 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine-5-carboximidamide, N-hydroxy-4-(hydroxyamino)-CN 7-(2-C-methyl-β-D-ribofuranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L5 CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 22 OF 23

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555629 CAPLUS

DOCUMENT NUMBER: 137:125359

TITLE: Preparation of nucleoside derivatives as inhibitors of

RNA-dependent RNA viral polymerase

Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss,

Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne Er; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinosso, Charles J.; Prhavc, Marija; Prakash, Thazha

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE:

PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATEN	T NO.			KIN	D	DATE		C	APPL	ICAT	ION I	NO.		D	ATE	
	 020574 020574			A2 A3		2002 2005		1	WO 2	002-1	US15:	31		2	0020	118
	: AE, CO, GM, LT,	AG, CR, HR, LU,	CU, HU, LV,	AM, CZ, ID, MA,	AT, DE, IL, MD,	AU, DK, IN, MG, SG,	AZ, DM, IS, MK,	DZ, JP, MN,	EC, KE, MW,	EE, KG, MX,	ES, KR, MZ,	FI, KZ, NO,	GB, LC, NZ,	GD, LK, OM,	GE, LR, PH,	GH, LS, PL,

IIG IIS IIZ	VN, YU, ZA, ZM,	7.W	
		SL, SZ, TZ, UG, ZM,	ZW AT BE CH
CY. DE. DK.	ES. FT. FR. GB.	GR, IE, IT, LU, MC,	NI. DY CE TO
		GN, GQ, GW, ML, MR,	
CA 2433878		CA 2002-2433878	
	· · · · · · · ·	US 2002-52318	
US 6777395			20020118
CN 1498221	A 20040519	CN 2002-806977	20020118
JP 2004532184	T2 20041021	JP 2002-558479	. 20020118
		EP 2002-709095	
		GB, GR, IT, LI, LU,	
	LV, FI, RO, MK,		ME, OD, MC, FI,
US 2004072788		US 2003-431657	20030507
ZA 2003005078			20030630
US 2004067901		US 2003-688691	
US 20 041107 17		US 2004-250873	
	B2 20060912		
US 20 0527 2676	A1 20051208	US 2005-200499	20050809
US 2006205686		US 2005-236224	
PRIORITY APPLN. INFO.:		US 2001-263313P	P 20010122
		US 2001-282069P	P 20010406
	The second of the setting of the	US 2001-299320P	7887 Ph. 20010619
		US 2001-344528P	P 20011025
My Mm		US 2001-282069P US 2001-299320P US 2001-344528P US 2002-52318 WO 2002-US1531	A3 20020118
/ / / P		WO 2002-US1531	W 20020118
	•	US 2003-431657	B1 20030507
		US 2003-688691	Al 20031017
OTHER COIDCE/C).	MADDAM 100 1000		

OTHER SOURCE(S):

MARPAT 137:125359

AB The present invention provides the preparation of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycrbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH2, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF3; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl- β -Dribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μM. The compds.

of the present invention were also evaluated for their ability to affect the replication of Hepatitis C Virus RNA in cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon.

IT 443642-48-6P

CN

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl-β-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

Patent

ED Entered STN: 26 Jul 2002

ACCESSION NUMBER: 2002:555511 CAPLUS

DOCUMENT NUMBER: 137:109450

TITLE: Preparation of nucleoside derivatives as inhibitors of

RNA-dependent RNA viral polymerase

INVENTOR(S): Carroll, Steven S.; Maccoss, Malcolm; Olsen, David B.;

Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Prakash, Thazha P.; Prhavc, Marija;

Song, Quanlai

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

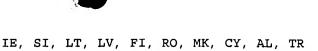
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

. CIV I	INFOR	KMALI	ON:														
P.	ATENT						DATE			APPL	ICAT	ION	NO.		D	ATE	
	0 2002	20572	87		A2		2002	0725		WO 2	002-	US30	86		2	0020	118
***	O 2002 W:	AE, CO, GM,	AG, CR, HR,	AL, CU, HU,	AM, CZ, ID,	AT, DE, IL,	AU, DK, IN,	AZ, DM, IS,	DZ, JP,	EC, KE,	EE, KG,	ES, KR,	FI, KZ,	GB, LC,	GD, LK,	GE, LR,	GH, LS,
		PT, UG,	RO, US,	RU, .UZ,	SD, VN,	SE, YU,	MG, SG, ZA,	SI, ZM,	SK, ZW	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		BF,	DE, BJ,	DK, CF,	ES, CG,	FI, CI,	FR, CM,	GB, GA,	GR, GN,	IE, GQ,	IT, GW,	LU, ML,	MC, MR,	NL, NE,	PT, SN,	SE, TD,	TR, TG
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وللر	S 2002 S 6377	1395 <i>)</i>			B2		2004	0817									
∕ €:	E 2003	10033	8		A		2003	1015	1	EE 20	003-3	338			20	0020	118
E	P 1355																
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BR 2002-6614 20020118 CN 2002-806977 20020118 JP 2002-557963 20020118 NZ 2002-526703 20020118 US 2003-431657 20030507 ZA 2003-5078 20030630 BG 2003-108000 20030717

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B1 20030507

A1 20031017

A 1850 1 1 1 1 1 1 1 1

NO 2003-3289

US 2003-688691

US 2005272676 US 2006205686 PRIORITY APPLN. INFO.:

BR 2002006614

JP 2004520367

US 2004072788

ZA 2003005078

NO 2003003289

US 2004067901

CN 1498221

NZ 526703

BG 108000

US 2003-688691 20031017 US 2005-200499 20050809 US 2005-236224 20050927 US 2001-263313P P · 20010122 US 2001-282069P P 20010406 US 2001-299320P P 20010619 US 2001-344528P Ρ 20011025 US 2002-52318 A3 20020118 WO 2002-US3086 W 20020118 US 2003-431657

OTHER SOURCE(S):

MARPAT 137:109450 Language Maria de la Companya de la Caración de la

R10 R9 R50 R7 Ř2

The present invention provides nucleoside compds. I, wherein R1 is AB alkenyl, alkynyl, alkyl, wherein alkyl is unsubstituted or substituted with hydroxy, amino, alkoxy, alkylthio, one to three fluorine atoms; R2 is hydrogen, fluorine, hydroxy, mercapto, alkoxy, alkyl; or R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered saturated monocyclic ring system optionally containing a heteroatom selected from O, S, and NC-alkyl; R3 and R4 are each independently hydrogen, cyano, azido, halogen, hydroxy, mercapto, amino, alkoxy, alkenyl, alkynyl, alkyl; R5 is hydrogen, alkylcarbonyl, phosphate; R6 and R7 are each independently hydrogen, Me, hydroxymethyl, or fluoromethyl; R8 is hydrogen, alkyl, alkynyl, halogen, cyano, carboxy, alkyloxycarbonyl, azido, amino, alkylamino, di(alkyl)amino, hydroxy, alkoxy, alkylthio, alkylsulfonyl, alkylaminomethyl, cycloheteroalkyl; R9 is hydrogen, cyano, nitro, alkyl, NHCONH2, amide, thioamide, ester, C(=NH)NH2, hydroxy, alkoxy, amino, alkylamino, di(alkyl)amino, halogen, (1,3-oxazol-2-yl), (1,3-thiazol-2-yl), or (imidazol-2-yl); R10 and R11 are each independently hydrogen, hydroxy, halogen, alkoxy, amino, alkylamino, di(alkyl)amino, cycloalkylamino, di(cycloalkyl)amino, cycloheteroalkyl, and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes

pharmaceutical compns. containing such nucleoside compds. alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-7-(2-C-methyl- β -D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyrimidine was prepared as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 μ M. The nucleoside derivs. were also screened for cytotoxicity against cultured hepatoma (HuH-7) cells containing a sub-genomic HCV Replicon in an MTS cell-based assay. 443642-48-6P 443643-13-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 443642-48-6 CAPLUS

IT

7 (7)

Absolute stereochemistry.

$$R = R$$
 $R = R$
 $R = R$
 $R = R$
 $OH = OH$

RN 443643-13-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxylic acid, 4-amino-7-[5-0[hydroxy[[hydroxy(phosphonooxy)phosphinyl]]]] -2-C-methylβ-D-ribofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

edit vi

TITLE:

SOURCE:

Preparation of tricyclic nucleoside prodrugs for

treating viral infections

INVENTOR (S):

Keicher, Jesse Daniel; Roberts, Christopher Don

US 2005-657463P

II

20050228

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

U.S. Pat. Appl. Publ., 63pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PRIORITY APPLN. INFO.:

GI

3

PATENT INFORMATION:

	PATENT	NO.					DATE								D	ATE	
•															-		220
*	US 2006				A1		2006			US 2					-	00602	-
	WO 2006				A1		2006			WO 2							
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		·VN.,		•	•		•			5.			•				
	RW:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,
		•					MC,		•	•		•	•		•		•
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ÑΕ,	SN,	TD,	TG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	TJ,	TM										
	WO 2006	0939	87		A1		2006	0908	. '	WO 2	006-1	JS71:	32		20	0602	228
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	ΚP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
	•	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	.PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,	VC,
		VN,	ÝŪ,	ZA,	ZM,	zw											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ.	MD,	RU,	TJ,	TM										

$$Z^2 = Z^3$$
 Z^1
 $Z^2 = Z^3$
 Z^1
 $Z^2 = Z^3$
 $Z^$

AB Tricyclic nucleoside prodrugs I, wherein the delocalized bond may be single or double bond; the bond between N and Rp is a single bond or no bond; p is 0 or 1; R is H, alkyl, cycloalkyl; R1 is H, alkyl, alkyl,

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alkoxy, thiol, alkylthio-ether, =0, =S; Z1-Z3 are independently CH, CH2, substituted C or CH, N; Z4 is C, N; Y is bond, CH2, O; X is OH, O-alkyl; W and Wl are independently H, alkyl; were prepared for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Tablet, capsule, suppository, injectable, and suspension formulations are reported. Thus, tricyclic nucleoside II was prepared and tested as antiviral agent against hepatitis C virus. Cloning and expression of recombinant HCV-NS5b was reported. Title nucleosides were used in pharmaceutical combination chemotherapy composition of one or more agents active against HCV consisting of Ribavirin, levovirin, viramidine, thymosin α 1, an inhibitor of NS3 serine protease, and inhibitor of inosine monophosphate dehydrogenase, interferon α pegylated interferon α alone or in combination with viramidine, Ribavirin or levovirin.

IT ·847551-17-1P

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methylβ-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic nucleoside prodrugs for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

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L5 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on, STN

ED Entered STM: 26 May 2006

ACCESSION NUMBER: DOCUMENT NUMBER:

2006:494221 145:8396

TITLE:

Preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral

infections

INVENTOR(S):

Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina,

Natalia B.

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

CAPLUS

SOURCE:

U.S. Pat. Appl. Publ., 23 pp. CODEN: USXXCO

DOCUMENT TYPE: Pa

I ANGUAGO

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2006111311	A1	20060525	US 2005-280984		20051115
PRIORITY APPLN. INFO.:			US 2004-630453P	р	20041122
OTHER SOURCE(S):	MARPAT	145:8396			
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Nucleoside analogs I, wherein Y is a bond, -CH2-, or -O-; W-W2 are independently H, acyl, oxyacyl, phosphonate, phosphate esters, phosphonamidate, phosphorodiamidate, phosphoramidate monoester, cyclic phosphoramidate, cyclic phosphorodiamidate, phosphoramidate diester, and -C(0)CHR1NHR2, where R1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and a side-chain of an amino acid; or R1 and R2 together with the carbon and nitrogen atoms bound thereto resp. form a heterocyclic ring compns. are prepared and useful in the treatment of viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, II was prepared and tested as an antiviral agent against Hepatitis C virus in an HCV-NS5b enzyme assay (IC50 = 2.6 μM).

887748-00-7P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleoside analogs for treating Hepatitis C and other Flaviviridae family viral infections)

RN 887748-00-7 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-nitro-7-(2,3,5-tri-0-acetyl-2-C-CN methyl-β-D-ribofuranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

30 Mar 2006 Entered STN:

ACCESSION NUMBER: -2006:296019 CAPLUS DOCUMENT NUMBER: 144:312290

TITLE: Preparation of nucleoside derivatives as antiviral,

antitumor, and antidiabetic prodrug agents

and a graduate many

INVENTOR(S): Reddy, Raja K.; Erion, Mark D. PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 255 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
	033709				2006			WO 2	005-	US27:	235		2	0050	729
WC 2006					2006										•
₩:	AE, AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВĢ,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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	KG, KZ,	MD,	RU,	ТJ,	TM										
US 2005	182252		A1		2005	0818	•	US 2	004-	9032	15		2	0040	729
PRIORITY APP	LN. INFO	.:					1	US 2	004-	9032	15	1	A 2	0040	729
							1	US 2	005-	6525	27P			0050	
									004-						
OTHER SOURCE	(S):		MAR	РАТ	144:	3122						•		0010	

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Nucleoside derivs. I, wherein X1 is O, S, SO, substituted nitrogen; B is heterocycle, nucleobase; Y is O, S, N, substituted C, CH2; R and R1 are AB independently H, alkyl,l alkenyl, alkynyl, R2 is H, alky;, alkenyl, alkynyl, alkylamino, cycloalkyl-amino, halogen, alkoxy; R3 is H, halogen, alkyl, alkoxy, alkenyl-oxy, alkylthio, alkylcarbonyl-oxy, aryloxy-carbonyl, azido, amino, alkylamino; R4 is H, alkyl, alkenyl, alkynyl, OH, alkoxy, halogen, CN, were prepared and tested in vitro and in rats for the treatment of viral diseases including hepatitis C viral infection, cancer, diabetes, and other diseases. The activation of prodrug analogs to NMP was evaluated in the microsomal fraction of human The HepDirect-carbonate prodrugs evaluated were activated to the corresponding NMP in human liver microsomes, indicating that the enzymes required for removal of both the HepDirect and the carbonate prodrug moieties are present in this reaction system. Thus, nucleoside II was prepared via coupling and hydrogen transfer reactions and tested in vitro and in rats as antiviral, antitumor, and antidiabetic prodrug agents. The oral bioavailability (OBAV) of the free nucleoside is very low (<5 %) whereas the OBAV of its carbonate prodrugs are >20 %. The compds. of the present invention may also be administered in combination with an agent that is an inhibitor of HCV NS3 serine protease. IT

879493-30-8P 879493-53-5P 879493-54-6P

879494-08-3P 879494-10-7P

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of nucleoside derivs. via coupling and hydrogen transfer reactions as antiviral, antitumor, and antidiabetic prodrug agents) 879493-30-8 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-[2-C-methyl-5-0-[(2R,4S)-2-oxido-4phenyl-1,3-dioxa-2-phosphaspiro[5.5]undec-2-yl]-β-D-ribofuranosyl]-, trifluoroacetate (5:1) (salt) (9CI) (CA INDEX NAME)

CM

RN

CN

CRN 879493-29-5 CMF C26 H33 N4 O7 P

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 879493-53-5 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(2,3-difluorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

医海绵 医邻苯二氏病

CM 1

CRN 862189-18-2 CMF C21 H23 F2 N4 O8 P

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 879493-54-6 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-0-[(2S,4R)-4-(3,4-dichlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862189-20-6 CMF C21 H23 Cl2 N4 O8 P

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 879494-08-3 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[5-0-[(2R,4S)-4-(3-chlorophenyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl- β -D-ribofuranosyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1 .

CRN 879494-07-2 CMF C21 H25 Cl N5 O7 P

CM

CRN 76-05-1 CMF C2 H F3 O2

879494-10-7 CAPLUS RN

7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-[2-C-methyl-5-O-[(2R,4S)-2-CN oxido-4-(3-pyridinyl)-1,3,2-dioxaphosphorinan-2-yl]-β-Dribofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN Enter#d STN: 03 Feb 2006-

ACCESSION NUMBER:

2006:100316

DOCUMENT NUMBER:

144:192451

TITLE:

Preparation of nucleoside aryl phosphoramidates for

use as an inhibitor of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral

infections

INVENTOR(S):

Maccoss, Malcolm; Olsen, David B.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 40 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT I	NO.					DATE		i	APPL	ICAT:	ION I	NO.		Di	ATE	
							-									-		
	WO	2006	0120	78 ·		A2		2006	0202	1	WO 2	0`05-1	JS21	684		2	050	620
	WO	2006	0120	78		A3		2006	0601									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	ΥU,
			ZA,	ZM,	ZW								•					
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	MC,	NL,	·PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	GM,
			KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	·AZ,	BY,	KG,
			ΚZ,	MD,	RU,	ТJ,	TM											
PRIOR	YTI	APP	LN.	INFO	. :					1	US 2	004-!	5826	57P	1	P 20	040	524
										1	US 2	004-6	51974	46P	1	P 20	0041	018
OTHER	SO	URCE	(S):		•	MAR	PAT	144:	1924!	51								

-GI

AB Nucleoside anyl phosphoramidates I, wherein Y is (un) substituted C or N; Ar is (un) substituted Ph; R1 is hydrogen, fluoro, azido, amino, hydroxy, C1-3 alkoxy, mercapto, and C1-3 alkylthio; R2 and R3 are each independently selected from the group consisting of hydrogen, Me, C1-16 alkylcarbonyl, C2-18 alkenylcarbonyl, C1-10 alkyloxycarbonyl, C3-6 cycloalkylcarbonyl, and C3-6 cycloalkyloxycarbonyl; R4 is hydrogen, halogen, Me, azido, or amino; R5 and R6 are each independently selected from the group consisting of hydrogen, hydroxy, halogen, C1-4 alkoxy, amino, C1-4 alkylamino, di (C1-4 alkyl) amino, C3-6 cycloalkylamino, di (C3-6 cycloalkyl) amino, benzylamino, dibenzylamino, or C4-6 heterocycloalkyl, wherein alkyl, cycloalkyl, benzyl, and heterocycloalkyl; R7 is hydrogen, C1-5 alkyl, (un) substituted Ph or benzyl; R8 is hydrogen, C1-6 alkyl, C3-6 cycloalkyl, (un) substituted Ph or benzyl; R9 is hydrogen or Me, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Nucleoside aryl phosphoramidates, I, alone or in combination with other agents active against RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection. Thus, II was prepared (no yield) and tested as an inhibitor of hepatitis C virus (HCV) NS5B polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection (EC50 less than 100 μM). IT

I

874883-62-2P 874883-68-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside aryl phosphoramidates for use as an inhibitors of hepatitis C virus NS5B polymerase, RNA-dependent RNA polymerase, RNA viral replication and treating RNA-dependent RNA viral infections)

RN 874883-62-2 CAPLUS

Absolute stereochemistry.

RN 874883-68-8 CAPLUS

CN L-Alanine, N-[[1-(4-amino-5-fluoro-7H-pyrrolo[2,3-d]pyrimidin-7-yl)-1deoxy-2-C-methyl-β-D-ribofuranos-5-O-yl]phenoxyphosphinyl]-, methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

D Entered STN: 30 Sep 2005

ACCESSION NUMBER: 2005:1050841 CAPLUS

DOCUMENT NUMBER: 143:326574

TITLE: Preparation of nucleosides as prodrugs and antiviral

To New V

agents

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina,

Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S.

Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215511	A1	20050929	US 2004-971477	20041021
US 2005090463	A1	20050428	US 2004-861311	20040604
US 2005101550	A1	20050512	US 2004-861219	20040604
US 2006079468	A1	20060413	US 2004-861090	20040604
PRIORITY APPLN. INFO.:			US 2003-515153P F	20031027
			US 2004-861090 A	2 20040604
			US 2004-861219 A	2 20040604
,			US 2004-861311 A	2 20040604
			US 2004-602815P P	20040818
OTHER SOURCE(S):	MARPAT	143:326574		

AB Nucleosides I, wherein Y is bond, CH2, O; W-W2 are independently H, pharmaceutically acceptable prodrug; T is substituted alkyne, substituted alkene, were prepared and used for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, $7-(2'-C-\text{methyl}-\beta-D-\text{ribofuranosyl})-4-\text{amino}-5-(2'-\text{trimethylsilylethyn}-1-yl)-pyrrolo[2,3-d]pyrimidine was prepared and tested in vitro as antiviral agent against hepatitis C virus (replicon assay, % inhibition value range 35.8 - 98.2 μM).$

IT 850338-32-8P 865481-58-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleosides as prodrugs and antiviral agents)

RN 850338-32-8. CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-O-phosphono- β -D-ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

RN 865481-58-9 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-[bis(1-methylethoxy)methyl]-7-[2-C-methyl-5-O-phosphono-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nucleosides as prodrugs and antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN 30 Sep 200\$

Entered STN: ACCESSION NUMBER:

2005:1050840 CAPLUS

DOCUMENT NUMBER:

143:326573

TITLE:

Methods for preparing 7-(2'-substituted- β -D-

ribofuranosyl) -4 - (NR2R3) -5 - (substituted

ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivatives as

antiviral agents

INVENTOR(S):

Roberts, Christopher D.; Keicher, Jesse D.; Dyatkina,

00 per

Natalia B.

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S.

Ser. No. 861,311.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English ·

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215510 US 2005090463 US 2006079468 PRIORITY APPLN. INFO.:	A1 A1 A1	20050929 20050428 20060413	US 2004-970641 US 2004-861311 US 2004-861090 US 2003-515153P	20041020 20040604 20040604 20031027
			US 2004-861311	A2 20040604 A2 20040604 P 20040818

OTHER SOURCE(S):

CASREACT 143:326573; MARPAT 143:326573

GI

AB 7-(2'-Substituted-β-D-ribofuranosyl)-4-(NR2R3)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. I, wherein R1 is alkyl, alkenyl, alkynyl; R2 and R3 are independently H, alkyl, amino, OH, alkoxy, formyl, acyl; NR2R3 form heterocyclic, were prepared as antiviral agents. These compds. are useful in treating viral infections caused by a flaviviridae family virus, such as hepatitis C virus (IC50 ranges from 0.09 to >50 μM). Thus, I (R1 = Me, R2 = R3 = H) was prepared and tested in vitro as antiviral agent (IC50 = 0.09 μM).

IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods for preparing 7-(2'-substituted- β -D-ribofuranosyl)-4-(NR2R3)-5-(substituted ethyn-1-yl)-pyrrolo[2,3-d]pyrimidine derivs. as antiviral agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ι

L5 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS ON STN ED Entered STN: 19 Aug 2005

2005;824501 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

INVENTOR(S):

OCUMENT NUMBER: 143:212123

TITLE:

Preparation of 2'-C-methyl nucleoside derivatives and their uses for the treatment of hepatitis C viral

too new

infection

Reddy, K. Raja; Erion, Mark D.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 84 pp. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
US 2005182252 WO 2005084192	A1 20050818 A2 20050915	US 2004-903215	20040729 20050214
WO 2005084192	A3 20060511		
W: AE, AG, AL	, AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO, CR	. CU. CZ. DE. DK.	DM, DZ, EC, EE, EG,	ES, FI, GB, GD.
		IN, IS, JP, KE, KG,	
		MD, MG, MK, MN, MW,	
		RO, RU, SC, SD, SE,	
		UA, UG, US, UZ, VC,	
		NA, SD, SL, SZ, TZ,	
•		TM, AT, BE, BG, CH,	
		IE, IS, IT, LT, LU,	
		CF, CG, CI, CM, GA,	GN,∵GQ, GW, ML,
MR, NE, SN	•		
WO 2006033709	A2 20060330	WO 2005-US27235	20050729
WO 2006033709			
W: AE, AG, AL	, AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
		DM, DZ, EC, EE, EG,	
		IN, IS, JP, KE, KG,	
		MA, MD, MG, MK, MN,	
		PL, PT, RO, RU, SC,	
		TT, TZ, UA, UG, US,	
ZA, ZM, ZW		11, 12, 0A, 0G, 0B,	02, VC, VN, 10,
·		DK, EE, ES, FI, FR,	CD CD IIII TE
		PL, PT, RO, SE, SI,	
		GW, ML, MR, NE, SN,	
		SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
	, RU, TJ, TM		
PRIORITY APPLN. INFO.:	•	US 2004-544743P	P 20040213
		US 2004-903215	A 20040729
		US 2005-652527P	P 20050211
OTHER SOURCE(S):	MARPAT 143:21212		

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AB 2'-C-Me nucleosides I, wherein B is purine nucleobase; V is monocyclic aryl, monocyclic heteroaryl; W and W' are independently monocyclic aryl, monocyclic heteroaryl, H, alkyl, heterocycloalkyl, aralkyl, Z is CN, acyl, amide, carboxylate, sulfonyl, sulfonamide, OH, sulfide, alkyl, aryl, heterocycloalkyl, aralkyl, thio-ester; V and Z are connected via an addnl. 3-5 atoms to form a cyclic group optionally containing hero-atom; Z and W are connected via an addn1. 3-5 atoms to form a cyclic group optionally containing hero-atom; W and W' are connected via an addnl. 2-5 atoms to form a cyclic group optionally containing 0-2 hero-atoms, were prepared and used for the treatment of hepatitis C viral infection. Thus, nucleoside II was prepared and tested in mice as hepatitis C antiviral agent. The prodrug analogs are tested for activation in human liver microsomes and in rat liver microsomes activation (250 μM). Nucleoside analogs and their prodrugs were evaluated for their ability to generate NTPs in freshly isolated rat hepatocytes. It is generally accepted that the NTP (0.1-160 nmol/g) form of a nucleoside is the active antiviral agent.

IT 862189-24-0P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2'-C-Me nucleoside derivs. and their uses for the treatment of hepatitis C viral infection)

RN 862189-24-0 CAPLUS

4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-[5-O-[(2S,4R)-4-(5-bromo-3-pyridinyl)-2-oxido-1,3,2-dioxaphosphorinan-2-yl]-2-C-methyl-β-D-ribofuranosyl]-1,7-dihydro- (9CI) (CA INDEX NAME)

$$H_2N$$
 H_2N
 H_2N

500 Mars ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN L5 03 Jun 2005 Entered STN: ED 474924 **2**005 ACCESSION NUMBER: CAPLUS

DOCUMENT NUMBER: 143:7941

TITLE: Preparation of nucleoside derivatives for treating

Hepatitis C virus infection

INVENTOR(S): Roberts, Christopher D.; Keicher, Jesse; Dyatkina,

Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 676,956.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIND DATE					APPLICATION NO.										
		2005 7094									US 2	004-	8216	38		. 2			
	US	7094 2004	1474	64	-	Δ1		2004	0729	17 14	 US 2	nn3 –	6769	56		· •	กับสก	930	
		2006																	
	"																		•
		w:						AU,											
								DΕ,											
								ID,											
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM.	
								TT,											z_W
		RW:						CZ,											
								NL,											
								GQ,											
								SD,	SL,	SZ,	12,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,	
			•	MD,		TJ,	TM												
PRIC	RITY	APP:	LN.	INFO	. :						US 2	002-	4152	22P	1	P 2	0020	930	
											US 2	003-	4431	69P]	P 2	0030	129	
											US 2	003-	6769	56	1	A2 2	0030	930	
				-							US 2	004-	8216	3.8	,	A 2	0040	408	
OTHE GI	ER SO	URCE	(S):			CASI	REAC	T 14:	3:794							-			

Disclosed are nucleosides I, wherein W-W2 are independently hydrogen and a AB pharmaceutically acceptable prodrug; R is hydrogen, alkyl; R1 is hydrogen,

alkyl, alkenyl, alkenyl, alkynyl; Y is a bond, CH2, O; Y' is hydrogen, halo, hydroxyl, thio-alkyl, amino; Z is acyl, cyano, carboxyl, carboxyl ester, amide, halo, B(OH)2, imine, nitro, alkenyl, acetylenyl and methods for treating viral infections caused by a Flaviviridae family virus, such as hepatitis C virus. Thus, nucleoside II was prepared and used for the treatment of Hepatitis C virus infection. In general, compds. of this invention will be administered as pharmaceutical compns. by any one of the following routes: oral, systemic (e.g., transdermal, intranasal or by suppository), or parenteral (e.g., i.m., i.v. or s.c.) administration. The preferred manner of administration is oral using a convenient daily dosage regimen that can be adjusted according to the degree of affliction. Compns. can take the form of tablets, pills, capsules, semi-solids, powders, sustained release formulations, solns., suspensions, elixirs, aerosols, or any other appropriate compns. Another preferred manner for administering compds. of this invention is inhalation. This is an effective method for delivering a therapeutic agent directly to the respiratory tract, in particular for the treatment of diseases such as asthma and similar or related respiratory tract disorders.

IT 852235-73-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside derivs. for treating Hepatitis C virus infection)

RN 852235-73-5 CAPLUS

CN Boronic acid, [4-(hydroxyamino)-7-(2-C-methyl-β-D-ribofuranosyl)-7Hpyrrolo[2,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

for New

L5 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS ON STN

ED Entered STN 20 May 2005

ACCESSION NUMBER: 2005:431387 CAPLUS

DOCUMENT NUMBER: 142:447384

TITLE: Preparation of amino acid-containing nucleosides for

treating viral infections

INVENTOR(S): Keicher, Jesse D.; Roberts, Christopher D.; Dyatkina,

Natalia B.

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S.

Ser. No. 861,090.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

	· 				-	
US 2005107312	A1	20050519	US	2004-970321		20041020
US 2006079468	A1	20060413	US	2004-861090		20040604
PRIORITY APPLN. INFO.:			US	2003-515153P	P	20031027
			US	2004-861090	A2	20040604
			US	2004-602815P	P	20040.818
OTHER SOURCE(S):	MARPAT	142:447384		•		•

GI

Disclosed are nucleosides I, wherein Y is bond, -CH2- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = 0, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC50 vales range from 0.09 to > 20 μ M).

IT 851387-67-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-0[hydroxy[[hydroxy(phosphonooxy)phosphinyl]oxy]phosphinyl]-2-C-methylβ-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ι

IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 10 OF 23 CAPLUS SOPYRIGHT 2006 ACS on STN TO NOW DED Entered STN: 3 May 2005

ACCESSION NUMBER:

2005:409541 CAPLUS 142:463969

DOCUMENT NUMBER: TITLE:

Preparation of amino acid-containing nucleosides for

treating viral infections

INVENTOR(S):

Keicher, Jesse D.; Roberts, Christopher Don; Dyatkina,

Natalia B

PATENT ASSIGNEE(S):

Genelabs Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.					DATE						
WO 2005042556						,												
WU	2005				A1 20050512									20041020				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	·BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
											JP,							
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
											UZ,							
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	.MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
											LU,							
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
		SN,	TD,	TG														
US :	20060	794	88		A1		2006	0413	1	US 2	004-	3610	90		20	0040	604	
AU	20042	28592	23		A1	:	2005	0512	1	AU 2	004-2	2859	23		20041020			
CA	25427	776			AA	:	2005	0512	(CA 2004-2542776					20041020			
EP	16804	136			A1	:	2006	0719]	EP 2	004-8	3100	14		. 20	0041	020	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK PRIORITY APPLN. INFO.: US 2003-515153P

US 2003-515153P P 20031027 US 2004-861090 A 20040604 US 2004-602815P P 20040818 WO 2004-US34955 W 20041020

WO 2004-US34955 OTHER SOURCE(S): MARPAT 142:463969

Ι

GI

$$W-Y$$
 $M=0$
 $M=0$

Disclosed are nucleosides I, wherein Y is bond, -CH2- -O-; W-W2 are independently H, and a pharmaceutically acceptable prodrug; compns. and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (Y = 0, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus (IC50 vales range from 0.09 to > 20 μ M).

Burney St. W. Carley St. &

IT 851387-67-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 851387-67-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 5-ethynyl-7-[5-0[hydroxy[[hydroxy(phosphonooxy)phosphinyl]]oxy]phosphinyl]-2-C-methylβ-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 847551-25-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid-containing nucleosides for treating viral infections)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo [2,3-d] pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl) methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN 26 Apr 2005 ED Entered STN:

ACCESSION NUMBER:

2005:369125 CAPLUS

DOCUMENT NUMBER:

142:411590

TITLE:

Preparation of nucleosides for treating viral infections caused by a Flaviviridae family virus

INVENTOR(S): PATENT ASSIGNEE(S): Roberts, Christopher D.; Keicher, Jesse D. Genelabs Technologies, Inc., USA

U.S. Pat. Appl. Publ., 37 pp.

SOURCE:

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
	- -					-									_		
US	2005	0904	63		A1		2005	0428	1	US 2	004-	8613	11		2	0040	604
CA	2543	116			AA			0519									
WO	2005	0448	35		A1		2005	0519	1	WO 2	004-	US34	756		2	0041	020
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	вw,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
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		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
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US	2005	2155	10		A1		2005	0929	1	US 2	004-	9706	41		2	0041	020
ΕP	1682	564			A1		2006	0726]	EP 2	004-	7958	60		2	0041	020
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		ΙE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK				
AU	2004	2952	91		A1		2005	0616	1	AU 2	004-	2952	91		2	0041	021
	2543															0041	021
WO	2005	0542	68		A1		2005	0616	1	WO 2	004-1	US35	271		2	0041	021

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     US 2005215511
                                  20050929
                                               US 2004-971477
                                                                        20041021
                           A1
                                               EP 2004-817811
     EP 1687321
                           A1
                                  20060809
                                                                       20041021
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
PRIORITY APPLN. INFO.:
                                               US 2003-515153P
                                                                    P
                                                                       20031027
                                                                    Α
                                               US 2004-861090
                                                                       20040604
                                               US 2004-861219
                                                                       20040604
                                                                    Α
                                               US 2004-861311
                                                                    Α
                                                                       20040604
                                               US 2004-602815P
                                                                    P
                                                                    W
                                               WO 2004-US34756
                                                                       20041020
                                              ..WO:2004-US35271 W 20041021
OTHER SOURCE(S):
                          MARPAT 142:411590
GI
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I

Disclosed are nucleosides I, wherein selected from the group consisting of silyl, amide, alkoxyalkyl, heteroaryl, substituted Ph, alkenyl, alkynyl, alkoxy, acyl, acylamino, acyloxy, aminoacyl, amidino, amino, carboxyl, carboxyl ester, cyano, cycloalkyl, cyclo-alkoxy, guanidino, halo, heteroaryl, hydrazino, hydroxyl, nitro, thiol, sulfonyl; and methods for treating viral infections caused by a Flaviviridae family virus, such as Hepatitis C virus. Thus, I (R = CONH2, Y = 0, W-W2 = H) was prepared and tested as antiviral agent against Hepatitis C virus. Y is CH or O; each of W-W2 is independently hydrogen and a pharmaceutically acceptable prodrug; R is. Title nucleosides in combination with the administration of a therapeutically effective amount of one ore more agents active against HCV are reported.

IT 850338-32-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 850338-32-8 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-C-methyl-5-0-phosphono-β-D-

ribofuranosyl)-5-(2-pyridinylethynyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 C C N N C R R R OPO_3H_2 OPO_3H_2

IT 847551-25-1P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

PROMESTICAL PROPERTY OF A STATE O

(preparation of nucleosides for treating viral infections caused by flaviviridae family virus)

RN 847551-25-1 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-0-[(2,4-dichlorophenyl)methyl]-2-C-CN methyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS ON STATEMENT TO STATE ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS ON STATEMENT TO L5 ED

ACCESSION NUMBER:

2005:216831 CAPLUS

DOCUMENT NUMBER:

142:298286

TITLE:

Preparation of tricyclic nucleosides or nucleotides as

antiviral and antitumor therapeutic agents

INVENTOR (S):

Cook, Phillip Dan; Ewing, Gregory; Jin, Yi; Lambert,

John; Prhavc, Marija; Rajappan, Vasanthakumar;

Rajwanshi, Vivek K.; Sakthivel, Kandasamy

PATENT ASSIGNEE(S):

Biota, Inc., USA

SOURCE:

PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021568	A2	20050310	WO 2004-US27819	20040827
WO 2005021568	B1	20040609		
WO 2005021568	A3	20050421		
W: AE, AG,	L, AM, AT	, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO,	R, CU, CZ	, DE, DK,	DM, DZ, EC, EE, EG,	ES. FI. GB. GD.
GE, GH,	M. HR. HU	. ID. IL.	IN, IS, JP, KE, KG,	KP. KR. KZ. LC.
			MD, MG, MK, MN, MW,	
			RO, RU, SC, SD, SE,	
			UG, US, UZ, VC, VN,	
			NA, SD, SL, SZ, TZ,	
			TM, AT, BE, BG, CH,	
			IE, IT, LU, MC, NL,	
			CI, CM, GA, GN, GQ,	
SN, TD,		, 62, 66,		
		20050310	AU 2004-269026	20040927
CA 2537114			CA 2004-2537114	
			EP 2004-782317	20040827
			GB, GR, IT, LI, LU, I	NL, SE, MC, PT,
			CZ, EE, HU, PL, SK	
		20060502	NO 2006-979	
PRIORITY APPLN. INFO.			US 2003-498425P	P 20030827
OFFICE COLUMN CT (C)			WO 2004-US27819	W 20040827
OTHER SOURCE(S):	MARPAT	142:29828	36	

$$R^{4}$$
 R^{3}
 R^{2}
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Nucleosides and nucleotides containing a tricyclic base portion I; wherein A AB is O, S, CH2, NH, CHF, CF2; R1, R2, R2', R3', R3', R4 are independently H, F, Cl, iodo, Br, OH, SH, NH2, NHOH, NHNH2, N3, COOH, CN, CONH2, CSNH2, COOR, R, OR, SR, SSR, NHR, NR2; R4' is L-R5; L is O, S, NH, NR, CY2S, CY2NH, CY2, CY2CY2, CY2OCY2, CY2SCY2, CY2NHCY2; Y is H, F, Cl, Br, alkyl, alkenyl, alkynyl, R4' is OH, monophosphate, diphosphate, triphosphate; B is substituted tricyclic nucleobase derivs.; R is alkyl, alkenyl, alkynyl, aryl, acyl, aralkyl; thereof are useful for treating infectious diseases and proliferative disorders, such as viral infections or cancer resp. Thus, nucleotide II was prepared and tested in vitro as polymerase inhibitor, antiviral, and antitumor therapeutic agent. Title compds. were

typically cytotoxic in the range of 30 to > 100 μM . II showed inhibitory of NS5B in the range of 100 to >1000 nM. Selected examples displayed IC50 values in the range of to 100 nM.

IT 847551-17-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-17-1 CAPLUS

CN 2H-2,3,5,6-Tetraazabenz[cd]azulene, 3,7,8,9-tetrahydro-2-(2-C-methylβ-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 847551-25-1P 847551-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic nucleosides or nucleotides as antiviral and antitumor therapeutic agents)

RN 847551-25-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-[3,5-bis-O-[(2,4-dichlorophenyl)methyl]-2-Cmethyl-β-D-ribofuranosyl]-4-chloro-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 847551-73-9 CAPLUS

CN 7H-2,3,5,6-Tetraazabenz[cd]azulen-7-one, 2-[3,5-bis-O-[(3,4-dichlorophenyl)methyl]-2-C-methyl-β-D-ribofuranosyl]-4-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 11 Mar 2005

ACCESSION NUMBER: 2005:216597 CAPLUS

DOCUMENT NUMBER:

142:291323

TITLE:

Compositions and methods for the treatment of severe

acute respiratory syndrome (SARS)

INVENTOR(S):

Hardee, Greg; Dellamary, Luis Isis Pharmaceuticals, Inc., USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 217 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2005020885	A2 20050310	WO 2004-US16196	20040521
WO 2005020885	A3 20050804		
W: AE, AG, AI	, AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
		DM, DZ, EC, EE, EG, ES,	
		IN, IS, JP, KE, KG, KP,	
		MD, MG, MK, MN, MW, MX,	
		RO, RU, SC, SD, SE, SG,	
		UG, US, UZ, VC, VN, YU,	
		NA, SD, SL, SZ, TZ, UG,	
		TM, AT, BE, BG, CH, CY,	
		IE, IT, LU, MC, NL, PL,	
		CI, CM, GA, GN, GQ, GW,	
SN. TD. TG			,,,

PRIORITY APPLN. INFO.:

US 2003-472774P P 20030521

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

IT 443642-48-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

ey or are o

(compns. and methods for treatment of severe acute respiratory syndrome)

RN 443642-48-6 CAPLUS

7H-Pyrrolo[2,3-d]pyrimidin-2-amine, 7-(2-C-methyl- β -D-ribofuranosyl)-CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$R = R$$
 $R = R$
 $R = R$
 $R = R$
 $R = R$
 $OH = OH$

too New CAPLUS COPYRIGHT 2006 ACS on STN L5 ANSWER 14 OF 23

Entered STN: 28 Jan 2005)

ACCESSION NUMBER: 2005:74691 CAPLUS

DOCUMENT NUMBER: 142:336574

TITLE: Synthesis of $2'-\beta$ -C-methyl toyocamycin and

sangivamycin analogs as potential HCV inhibitors

AUTHOR (S): Ding, Yili; An, Haoyun; Hong, Zhi; Girardet, Jean-Luc

CORPORATE SOURCE: Valeant Pharmaceuticals, Inc., Costa Mesa, CA, 92626,

USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(3), 725-727

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:336574

Coupling reaction of $2-\beta-C-methyl-1,2,3,4-tetra-O-benzoyl-D$ ribofuranose with 4-amino-6-bromo-5-cyanopyrrolo[2,3-d]pyrimidine, followed by debromination and debenzoylation, gave the $2'-\beta-C-Me$ toyocamycin in high yield. Based on this result, a series of $2'-\beta-C$ -methyl-4-substituted toyocamycin and sangivamycin analogs were

synthesized for biol. screening as potential inhibitors of HCV RNA replication.

IT ··· 677298-94-1P

RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 2'- β -C-Me toyocamycin and sangivamycin analogs via coupling reaction as potential HCV inhibitors)

RN677298-94-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-(methoxyamino)-7-(2-C-methylβ-D-ribofuranosyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN - for New Entered STN: 15/Jul 2004) ACCESSION NUMBER: 2004:566635 CAPLUS

DOCUMENT NUMBER:

141:89323

TITLE:

Process for the production of 3'-nucleoside prodrugs

INVENTOR(S):

Storer, Richard; Moussa, Adel; Mathieu, Steven; Qu,

PATENT ASSIGNEE(S):

Idenix Cayman Limited, Cayman I.

SOURCE:

PCT Int. Appl., 57 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.		APPLICATION NO.	DATE		
		WO 2003-US41603			
		BA, BB, BG, BR, BW, BY,			
		DM, DZ, EC, EE, EG, ES,			
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KP,	KR, KZ, LC,		
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW, MX,	MZ, NI, NO,		
NZ, OM, PG,	PH, PL, PT, RO,	RU, SC, SD, SE, SG, SK,	SL, SY, TJ,		
TM, TN, TR,	TT, TZ, UA, UG,	US, UZ, VC, VN, YU, ZA,	ZM, ZW		
		SD, SL, SZ, TZ, UG, ZM,			
		AT, BE, BG, CH, CY, CZ,			
		IT, LU, MC, NL, PT, RO,			
TR, BF, BJ,	CF, CG, CI, CM,	GA, GN, GQ, GW, ML, MR,	NE. SN. TD. TG		
CA 2511616	AA 20040715	CA 2003-2511616	20031223		
		AU 2003-300434			
		US 2003-746395			
		EP 2003-814400			
		GB, GR, IT, LI, LU, NL,			
		CY, AL, TR, BG, CZ, EE,			
		BR 2003-16868			
TD 2006514029	TO 20060322	CN 2003-80109820	20031223		
NO 2005014038	12 20060427	JP 2004-562599 NO 2005-3557	20031223		
	A 20050908				
PRIORITY APPLN. INFO.:		US 2002-436150P			
000000000000000000000000000000000000000		WO 2003-US41603	W 20031223		
OTHER SOURCE(S):	CASREACT 141:89	323; MARPAT 141:89323			

GI

- AB Provided is a single-step process for the regioselective 3'-acylation of a ribofuranosyl 2'- or 3'-branched nucleosides I, wherein B is nucleobase. These compds. are useful as antiviral agents, and in particular, can be used to treat Flaviviridae infections in a host in need thereof (no data). Thus, 9-(2'-C-methyl-3'-O-valinoyl- β -D-ribofuranosyl)-6-Nmethyladenine dihydrochloride was prepared via regioselective esterification of 9-(2'-C-methyl- β -D-ribofuranosyl)-6-N-methyladenine with N-(tert-butoxycarbonyl)-L-valine.
- IT 714249-89-5P 714250-08-5P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) process for production of nucleoside prodrugs via regioselective المراجعة المراجعة (process for production) والمراجعة المراجعة ا
 - esterification)
 - 714249-89-5 CAPLUS
 - 4H-Pyrrolo[2,3-d]pyrimidine-4-thione, 1,7-dihydro-7-(2-C-methyl-β-D-CN ribofuranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 714250-08-5 CAPLUS

CN · 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-ethyl-7-(2-C-methyl-β-Dribofuranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 COPYRIGHT 2006 ACS on STN ANSWER 16 OF 23 CAPLUS

ED Entered STN: 10 Jun 2004